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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: Sabiha Qazi Examiner #: 74141 Date: 3/10/06
Art Unit: 1616 Phone Number: 1-0622 Serial Number: 10/540,037
Location (Bldg/Room#): 4A45 (Mailbox #): 4C70 Results Format Preferred (circle): PAPER DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: Fungicides

Inventors (please provide full names): CROWLEY et al

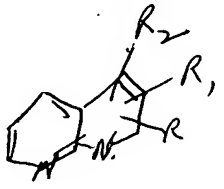
Earliest Priority Date: 12/23/02 371 of PCT/GB03/05148.

Search Topic:
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Cls 1-10+11-12

Please search for the compds of formula I in Cl 1.



when W, X + Y are C and Z is N.

Note that R_1 can be NR^3R^4 where $R_3 + R_4$ can also form heterocyclic gps. such as morpholine thiamorpholine.

Please see attached sheets

Thank you

****

STAFF USE ONLY

Searcher: _____
Searcher Phone #: _____
Searcher Location: _____
Date Searcher Picked Up: _____
Date Completed: _____
Searcher Prep & Review Time: _____
Online Time: _____

Type of Search

_____ NA Sequence (#)
_____ AA Sequence (#)
_____ Structure (#)
_____ Bibliographic
_____ Litigation
_____ Fulltext
_____ Other

Vendors and cost where applicable

_____ STN _____ Dialog
_____ Questel/Orbit _____ Lexis/Nexis
_____ Westlaw _____ WWW/Internet
____ In-house sequence systems
____ Commercial ____ Oligomer ____ Score/Length
____ Interference ____ SPDI ____ Encode/Transl
_____ Other (specify)

```
=> d his ful

     (FILE 'HOME' ENTERED AT 15:17:04 ON 23 MAR 2006)

     FILE 'REGISTRY' ENTERED AT 15:17:10 ON 23 MAR 2006
L1             STR
L2             STR
L3           0 SEA SSS SAM L1 AND L2
L4           4 SEA SSS FUL L1 AND L2
               D SCA

     FILE 'HCAPLUS' ENTERED AT 15:18:55 ON 23 MAR 2006
L5           2 SEA ABB=ON  PLU=ON  L4
               E US2005-540037/APPS
               E WO2003-GB5248/APPS
L6           1 SEA ABB=ON  PLU=ON  (WO2003-GB5248/AP OR WO2003-GB5248/PRN)
L7           1 SEA ABB=ON  PLU=ON  L6 AND L4
L8           1 SEA ABB=ON  PLU=ON  L5 AND L6

     FILE 'BEILSTEIN' ENTERED AT 15:20:23 ON 23 MAR 2006
L9           0 SEA SSS SAM L1 AND L2
L10          3 SEA SSS FUL L1 AND L2
L11          0 SEA ABB=ON  PLU=ON  L10/COM

     FILE 'MARPAT' ENTERED AT 15:22:22 ON 23 MAR 2006
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L13        550 SEA SSS FUL L1
L14          5 SEA SUB=L13 SSS SAM L2
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L17            STR L1
L18         12 SEA SUB=L13 SSS FUL L17
L19         10 SEA ABB=ON  PLU=ON  L18 NOT L5


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   21 MAR 2006  HIGHEST RN 877591-95-2
     DICTIONARY FILE UPDATES:  21 MAR 2006  HIGHEST RN 877591-95-2

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

     ************************************************************
     *                                                          *
     * The CA roles and document type information have been removed from *
     * the IDE default display format and the ED field has been added,   *
     * effective March 20, 2005.  A new display format, IDERL, is now    *
     * available and contains the CA role and document type information. *
     *                                                          *
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Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE HCAPLUS

FILE COVERS 1907 - 23 Mar 2006 VOL 144 ISS 13
FILE LAST UPDATED: 22 Mar 2006 (20060322/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BEILSTEIN
FILE LAST UPDATED ON MARCH 15, 2006

FILE COVERS 1771 TO 2006.
FILE CONTAINS 9,516,393 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

****
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *
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NEW
* **PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.**
* **NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.**

FILE MARPAT
FILE CONTENT: 1961-PRESENT VOL 144 ISS 12 (20060317/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2006035965 16 FEB 2006
DE 102004030305 12 JAN 2006
EP 1614691 11 JAN 2006
JP 2006008639 12 JAN 2006
WO 2006012333 02 FEB 2006
GB 2415429 28 DEC 2005
FR 2873371 27 JAN 2006
RU 2267521 10 JAN 2006
CA 2472818 30 DEC 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> fil hcap
FILE 'HCAPLUS' ENTERED AT 15:25:41 ON 23 MAR 2006
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

FILE COVERS 1907 - 23 Mar 2006 VOL 144 ISS 13
FILE LAST UPDATED: 22 Mar 2006 (20060322/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

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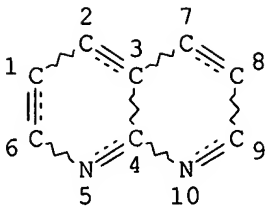

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CONNECT IS E2 RC AT 2
CONNECT IS E2 RC AT 6
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
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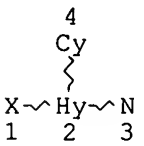

NODE ATTRIBUTES:
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DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 4

STEREO ATTRIBUTES: NONE
L4 4 SEA FILE=REGISTRY SSS FUL L1 AND L2
L5 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L4

=> d l5 ibib abs hitstr 1-2

L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:99502 HCAPLUS
DOCUMENT NUMBER: 142:198091
TITLE: Preparation of pyridopyridines and pyridopyrimidines as agrochemical fungicides.
INVENTOR(S): Wagner, Oliver; Grote, Thomas; Blettner, Carsten; Gewehr, Markus; Grammenos, Wassilios; Gypser, Andreas; Mueller, Bernd; Rheinheimer, Joachim; Schaefer, Peter; Schieweck, Frank; Schwoegler, Anja; Tormo, I. Blasco Jordi; Akers, Alan; Speakman, John-Bryan; Rack, Michael; Stierl, Reinhard; Scherer, Maria; Strathmann,

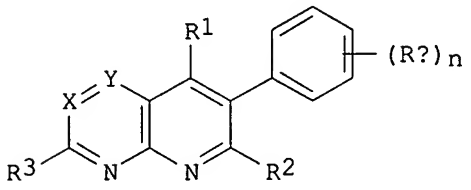
Siegfried; Schoefl, Ulrich
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005010000	A2	20050203	WO 2004-EP7924	20040715
WO 2005010000	A3	20050519		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2532917	AA	20050203	CA 2004-2532917		20040715
PRIORITY APPLN. INFO.:			DE 2003-10332790	A	20030718
			WO 2004-EP7924	W	20040715

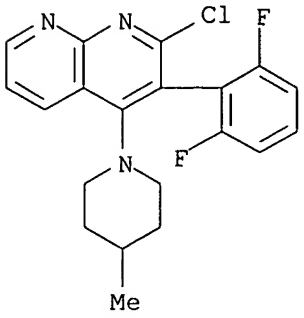
OTHER SOURCE(S): MARPAT 142:198091
GI



I

AB Title compds. [I; X, Y = N, CR4; n = 1-5; Ra = halo, cyano, alkyl, alkoxy, halogenalkyl, halogenalkoxy, alkenyl, alkenyloxy, COR5; R1, R2 = halo, cyano, alkyl, haloalkyl, alkenyl, alkynyl, halo, OR6, SR6, NR7R8, (halo- and/or alkyl-substituted) cycloalkyl, cycloalkenyl; R3 = H, alkyl, halogenalkyl, cycloalkyl, optionally mono- or polysubstituted by alkyl and/or halo; R4 = H, halo, alkyl, haloalkyl, (alkyl and/or halo-substituted)cycloalkyl; R5 = H, OH, alkyl, alkoxy, haloalkyl, haloalkoxy, etc.; R6 = H, alkyl, haloalkyl, (substituted) phenylalkyl; R7, R8 = H, alkyl, alkenyl, alkadienyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, phenylalkyl, naphthyl, heterocyclyl, etc.; R7R8N = atoms to form a 5-7 membered ring], were prepared Thus, Et 2,4,6-trifluoroacetate and Et 4-aminopyrimidine-5-carboxylate were heated together with NaOEt at 130° with distillation of EtOH to give 30% 6-(2,4,6-trifluorophenyl)pyrido[2,3-d]pyrimidin-5,7-diol. This was heated with POCl3 and PCl5 at 130° for 8 h to give 95% 5,7-dichloro-6-(2,4,6-trifluorophenyl)pyrido[2,3-d]pyrimidine. The latter at 250 ppm reduced incidence of Leptosphaeria nodorum infection on wheat to 3%, vs 80% for untreated controls.

IT **835878-70-1P**
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridopyridines and pyridopyrimidines as agrochem. fungicides)
RN 835878-70-1 HCAPLUS
CN 1,8-Naphthyridine, 2-chloro-3-(2,6-difluorophenyl)-4-(4-methyl-1-piperidinyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:546506 HCAPLUS
DOCUMENT NUMBER: 141:89023
TITLE: A preparation of naphthyridine derivatives, useful as plant fungicides
INVENTOR(S): Crowley, Patrick Jelf; Dobler, Markus; Mueller, Urs; Williams, John
PATENT ASSIGNEE(S): Syngenta Limited, UK; Syngenta Participations A.-G.
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056824	A2	20040708	WO 2003-GB5248	20031203
WO 2004056824	A3	20041014		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2507670	AA	20040708	CA 2003-2507670	20031203
AU 2003292381	A1	20040714	AU 2003-292381	20031203
EP 1585746	A2	20051019	EP 2003-767958	20031203
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				

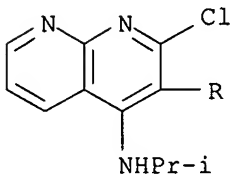
BR 2003017724 A 20051122 BR 2003-17724 20031203
PRIORITY APPLN. INFO.: GB 2002-30018 A 20021223
WO 2003-GB5248 W 20031203
OTHER SOURCE(S): MARPAT 141:89023
GI

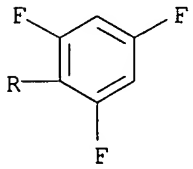
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of naphthyridine derivs. of formula I [wherein: one of W, X, Y and Z is N and the others are CH, C-halo, etc.; when X is CH , Z is N, R is NHNH2, R1 is Ph and R2 is Cl, W and Y are both CCH3; one of R and R2 is NH2, N[alk(en/yn)yl]2, or aryl, etc., and the other is halo, alkyl, alkoxy, etc.; R1 is (hetero)aryl, morpholino, piperidino, or pyrrolidino], useful as plant fungicides. For instance, naphthyridine derivs. II (R3 = Cl; R4 = i-PrNH) and II (R3 = i-PrNH, R4 = Cl) were prepared via phenylacetylation of III (R5 = NH2) by 2,4,6-trifluorophenylacetyl chloride, intramol. heterocyclization of the obtained acetylaminonicotinate derivative III [R5 = 2,4,6-trifluoro-C6H4CH2C(O)NH], chlorination/aromatization of the obtained pyridotriazinedione derivative IV, and subsequent amination of the obtained dichloronaphthyridine derivative II (R3 = R4 = Cl) by i-PrNH2 (example 1). For instance, naphthyridine derivative V gave greater than 60% control of disease (Plasmopara viticola).

IT **714963-53-8P 714963-54-9P 714963-59-4P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fungicidal naphthyridine derivs. from nicotinic acid derivs.)

RN 714963-53-8 HCAPLUS
CN 1,8-Naphthyridin-4-amine, 2-chloro-N-(1-methylethyl)-3-(2,4,6-trifluorophenyl)- (9CI) (CA INDEX NAME)





RN 714963-54-9 HCAPLUS
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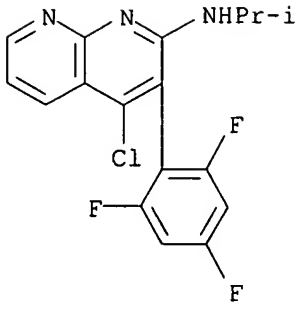
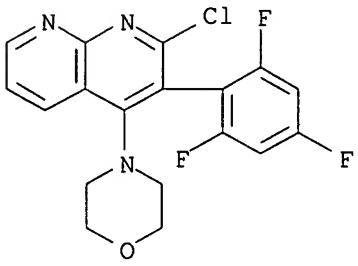


RN 714963-59-4 HCAPLUS
CN 1,8-Naphthyridine, 2-chloro-4-(4-morpholinyl)-3-(2,4,6-trifluorophenyl)-
(9CI) (CA INDEX NAME)



=> fil beilst
FILE 'BEILSTEIN' ENTERED AT 15:25:56 ON 23 MAR 2006
COPYRIGHT (c) 2006 Beilstein-Institut zur Foerderung der Chemischen Wissenschaften
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FILE LAST UPDATED ON MARCH 15, 2006

FILE COVERS 1771 TO 2006.
*** FILE CONTAINS 9,516,393 SUBSTANCES ***

>>>PLEASE NOTE: Reaction Data and substance data are stored in
separate documents and can not be searched together in one query.
Reaction data for BEILSTEIN compounds may be displayed
immediately with the display codes PRE (preparations) and REA
(reactions). A substance answer set retrieved after the search
for a chemical name, a compounds with available reaction
information by combining with PRE/FA, REA/FA or more generally
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
between a BEILSTEIN compound and belonging reactions. For mo
detailed reaction searches BRNs can be searched as reaction
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

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*********************************************************************
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST.               *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE  *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE         *
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* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                          *
* FOR PRICE INFORMATION SEE HELP COST                                  *
************************************************************************
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NEW

*** PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.**

*** NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.**

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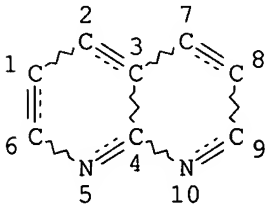


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NODE ATTRIBUTES:
CONNECT IS E2  RC AT   1
CONNECT IS E2  RC AT   2
CONNECT IS E2  RC AT   6
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  10

STEREO ATTRIBUTES: NONE
L2                STR
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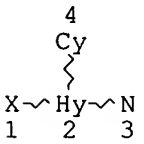


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GGCAT   IS PCY  UNS  AT   2
DEFAULT ECLEVEL IS LIMITED
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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   4

STEREO ATTRIBUTES: NONE
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L11           0 SEA FILE=BEILSTEIN ABB=ON  PLU=ON  L10/COM


=> fil marpat
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FILE 'MARPAT' ENTERED AT 15:26:05 ON 23 MAR 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE CONTENT: 1961-PRESENT VOL 144 ISS 12 (20060317/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

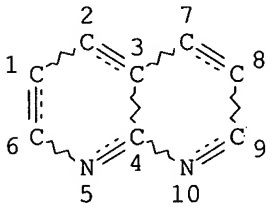
MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2006035965 16 FEB 2006
DE 102004030305 12 JAN 2006
EP 1614691 11 JAN 2006
JP 2006008639 12 JAN 2006
WO 2006012333 02 FEB 2006
GB 2415429 28 DEC 2005
FR 2873371 27 JAN 2006
RU 2267521 10 JAN 2006
CA 2472818 30 DEC 2005

Expanded G-group definition display now available.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

=> d que stat l19
L1 STR



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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
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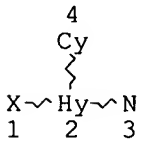

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GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
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STEREO ATTRIBUTES: NONE
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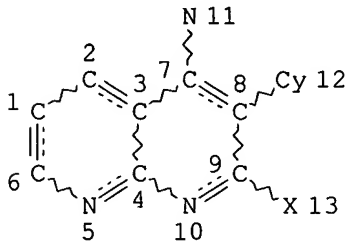


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CONNECT IS E1  RC AT  12
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  13

STEREO ATTRIBUTES: NONE
L18           12 SEA FILE=MARPAT SUB=L13 SSS FUL L17
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=> d l19 ibib abs qhit 1-10

L19  ANSWER 1 OF 10  MARPAT  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         140:199210  MARPAT
TITLE:                    Preparation of aminocyclohexene-substituted quinolines
                          and their azaisosteric analogues with antibacterial
                          activity
INVENTOR(S):              Davies, David Thomas; Elder, John Stephen; Forrest,
                          Andrew Keith; Jarvest, Richard Lewis; Pearson, Neil
                          David; Sheppard, Robert John
PATENT ASSIGNEE(S):       Glaxo Group Limited, UK
SOURCE:                   PCT Int. Appl., 60 pp.
                          CODEN: PIXXD2
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DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014361	A1	20040219	WO 2003-EP8153	20030723
WO 2004014361	C2	20040408		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
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AU 2003251474	A1	20040225	AU 2003-251474	20030723
EP 1539133	A1	20050615	EP 2003-784064	20030723
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005538125	T2	20051215	JP 2004-526773	20030723
US 2006040925	A1	20060223	US 2005-522058	20050714
PRIORITY APPLN. INFO.:			GB 2002-17294	20020725
			WO 2003-EP8153	20030723

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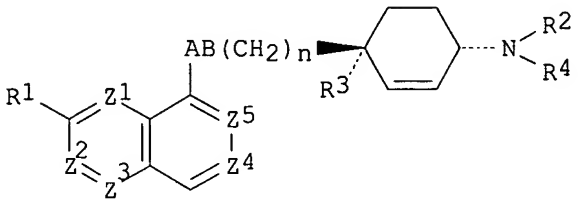

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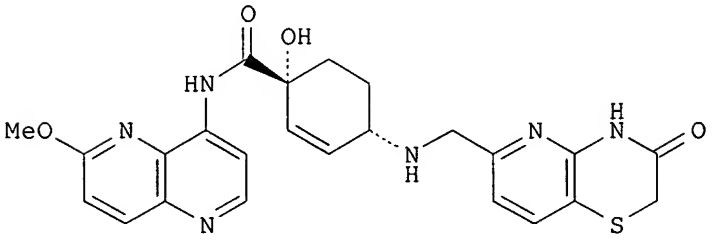


II

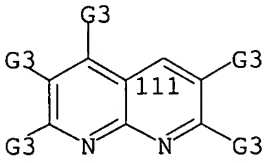
AB Title compds. I [one of Z1-5 = N, one = CR1a and the remainder are CH, etc.; R1-1a = H, OH, (un)substituted alkoxy, etc.; R2 = H, (un)substituted-alkyl, -alkenyl; R3 = OH, alkoxy, alkenyloxy, etc.; R4 = alkyl, hydroxyalkyl, alkoxyalkyl, heterocycle, etc.; n = 0-1; AB = amido, carboxamido, acyl, etc.] and there pharmaceutically acceptable salts are prepd and disclosed as antibacterial agents. For instance, 4-amino-1-hydroxycyclohex-2-enecarboxylic acid N-(6-methoxy[1,5]naphthyridin-4-yl)amide (preparation given) is reductively

alkylated with 3-oxo-3,4-dihydro-2H-pyrido[3,2-b][1,4]thiazine-6-carboxaldehyde to give II. II possessed an MIC of ≤ 2 μg/mL against S epidermidis CL7, S. aureus WCUH29, S. pneumoniae 1629, S. pyogenes CN10, H. influenzae ATCC 49247, E. faecalis 2, M. catarrhalis Ravasio, and E. coli 7623.

MSTR 1

G1—G2
1

G1 = 111



G2 = imidazolyl
G3 = F / piperidino
Patent location: claim 1
Note: also incorporates claims 13 and 14
Note: additional derivatization also claimed
Note: substitution is restricted

L19 ANSWER 2 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 139:337959 MARPAT
TITLE: Preparation of nitrogen-containing bicyclic heterocycles for use as antibacterials
INVENTOR(S): Brooks, Gerald; Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003087098	A1	20031023	WO 2002-EP5708	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2448525	AA	20031023	CA 2002-2448525	20020524

AU 2002367697	A1	20031027	AU 2002-367697	20020524
EP 1399443	A1	20040324	EP 2002-807202	20020524
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002010016	A	20040615	BR 2002-10016	20020524
CN 1535272	A	20041006	CN 2002-814668	20020524
JP 2005519981	T2	20050707	JP 2003-584054	20020524
ZA 2003008696	A	20040521	ZA 2003-8696	20031107
US 2004171620	A1	20040902	US 2004-478154	20040406
PRIORITY APPLN. INFO.:			GB 2001-12834	20010525
			WO 2002-EP5708	20020524

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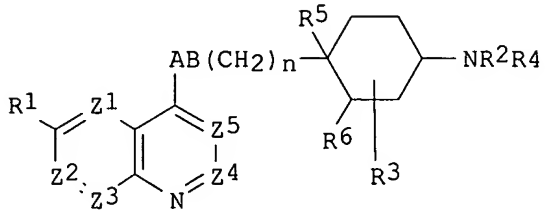

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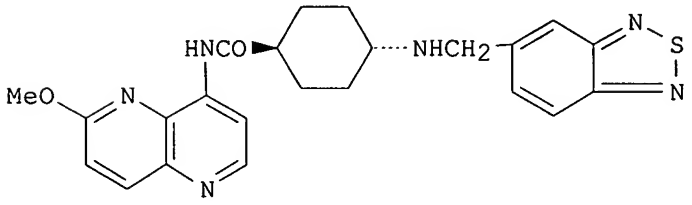


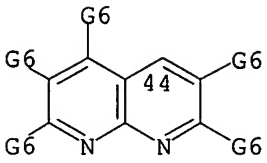
II

AB Naphthyridines I [one of Z1-Z5 = N, one = (un)substituted Ch, the others = CH; one of Z1-Z5 = (un)substituted Ch, the others = CH; R1 = H, OH, halogen, (un)substituted alkoxy, alkyl, alkylthio, CF3, NO2, N3, acyl, acyloxy, acylthio, alkylsulfonyl, alkylsulfinyl, arylsulfonyl, arylsulfinyl, amino; R2 = H, (un)substituted alkyl, alkenyl; R3 = H, CO2H, alkoxycarbonyl, (un)substituted alkyl, CONH2, CN, tetrazolyl, 2-oxooxazolidinyl, 3-hydroxy-3-cyclobutene-1,2-dion-4-yl,2,4-thiazolidinedion-5-yl, 1,2,4-triazol-5-yl, 5-oxo-1,2,4-oxadiazol-3-yl; R4 = (un)substituted alkyl, heterocyclic; R5, R6 = H; R5R6 = bond; AB = (un)substituted CONH, NHCO, COCH2, CH2CO, OCH2, CH2O, NHCH2, CH2NH, NHSO2, CH2SO2, CH2CH2; n = 0, 1] were prepared for use as bactericides. Thus, 2,1,3-benzothiadiazole-5-carboxylic acid was reduced to the alc., mesylated, and treated with the amine fragment, prepared from 5-amino-2-methoxypyridine in 5 steps, to give the naphthyridine II, which had IC50 against Staphylococcus aureus Oxford, several S. pneumoniae strains, and Escherichia coli strains of ≤ 4 μg/mL.

MSTR 1

G1—G2
I

G1 = 44



G2 = imidazolyl
G6 = F / piperidino (opt. substd.)
Patent location: claim 1
Note: also incorporates claims 13, 14, and 15
Note: substitution is restricted
Note: additional ring formation also claimed

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:153541 MARPAT
TITLE: Preparation of N-(1,5-naphthyridin-4-yl)piperidine-4-carboxamide derivatives as antibacterial agents
INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 97 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003010138	A2	20030206	WO 2002-EP8319	20020725
WO 2003010138	A3	20031204		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1419155	A2	20040519	EP 2002-764786	20020725
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JP 2005504747	T2	20050217	JP 2003-515497	20020725
US 2004198756	A1	20041007	US 2004-484563	20040524
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			WO 2002-EP8319	20020725

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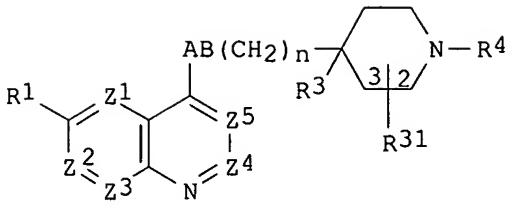
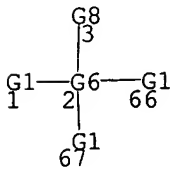


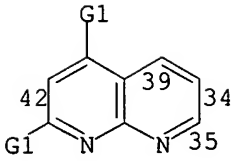
I

AB The title piperidine derivs. [I; one of Z1-Z5 is N, one is CR1a and the remainder are CH, or one or two of Z1-Z5 are independently CR1 a and the remainder are CH; R1, R1a = H, HO, C1-6 alkoxy optionally substituted by (un)substituted C1-6 alkoxy, amino, piperidyl, guanidino or amidino, C1-6 alkoxy-C1-6 alkyl, halo, C1-6 alkyl, C1-6 alkylthio, CF3, CF3O, etc.; R3 = CO2H, C1-6 alkoxycarbonyl, (un)substituted CONH2, cyano, tetrazolyl, (un)substituted 2-oxooxazolidinyl, 3-hydroxy-3-cyclobutene-1,2-dione-4-yl, 2,4-thiazolidinedione-5-yl, tetrazol-5-ylaminocarbonyl, (un)substituted 1,2,4-triazol-5-yl, 5-oxo-1,2,4-oxadiazol-3-yl, (un)substituted C1-4 alkyl or ethenyl, halogen, C1-6 alkylthio, CF3, C1-6 alkoxycarbonyl, C1-6 alkylcarbonyl, C2-6 alkenyloxycarbonyl, C2-6 alkenylcarbonyl, (un)substituted OH or NH2, etc.; R31 is in the 2- or 3-position and is hydrogen or a group listed above for R3, provided that R31 in the 2-position is not optionally substituted hydroxy, amino, trifluoromethyl or halogen; R4 = CH2R51, U-V-R52 (wherein R51 = C4-8 alkyl, hydroxy-C4-8 alkyl, C1-4 alkoxy-C4-8 alkyl, etc.; U = CO, SO2, CH2 and V = (un)substituted CH2; or U = CH2 and V = CO, (un)substituted C(:NOH), SO2; R52 = (un)substituted bicyclic carbocyclic or heterocyclic ring); n = 0,1; AB = (un)substituted NHCO, CONH, COCH2, CH2CO, OCH2, CH2O, NHCH2, CH2NH, NHSO2, CH2 SO2, CH2CH2] and pharmaceutically acceptable derivs. thereof are prepared These compds. are useful in methods of treatment of bacterial infections in mammals, particularly man. Thus, 0.10 g 4-(6-methoxy-[1,5]naphthyridin-4-ylcarbamoyl)-4-methylpiperidine and 0.095 g 2-(3-Oxo-3,4-dihydro-2H-benzo[1,4]thiazin-6-yl)ethyl methanesulfonate were stirred with 138 mg K2CO3 in 2 mL DMF at room temperature for 3 days to give 4-methyl-1-[2-(3-oxo-3,4-dihydro-2H-benzo[1,4]thiazin-6-yl)ethyl]piperidine-4-carboxylic acid (6-methoxy-[1,5]naphthyridin-4-yl)amide (II). II oxalate showed min. inhibitory concentration of ≤4 μg/mL against Staphylococcus aureus Oxford, S. aureus WCUH29, S. pneumoniae 1629, S. pneumoniae N1387, S. pneumoniae ERY 2, Enterococcus faecalis I, E. faecalis 7, Haemophilus influenzae Q1, H. influenzae NEMC1, Moraxella catarrhalis 1502, and Escherichia coli 7623.

MSTR 1



G1 = F / piperidino
G6 = 42-1 39-3 34-66 35-67



G8 = imidazolyl
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed
Note: also incorporates claim 13
Note: and precursors
Note: or pharmaceutically acceptable derivatives

L19 ANSWER 4 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 138:14011 MARPAT
TITLE: Preparation of bicyclic nitrogen-containing heterocyclic derivatives for use as antibacterials
INVENTOR(S): Dartois, Catherine Genevieve Yvette; Markwell, Roger Edward; Madler, Guy Marguerite Marie Gerard; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096907	A1	20021205	WO 2002-EP5709	20020524
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1392686	A1	20040303	EP 2002-774022	20020524
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JP 2004534780	T2	20041118	JP 2003-500086	20020524
US 2004198755	A1	20041007	US 2004-477900	20040524
PRIORITY APPLN. INFO.:			GB 2001-12836	20010525
			WO 2002-EP5709	20020524

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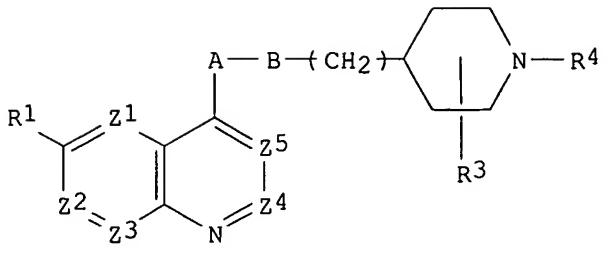

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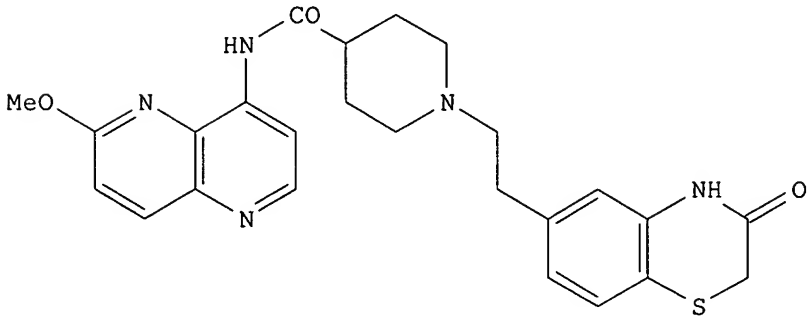
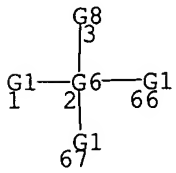


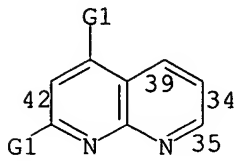
II

AB Piperidine derivs. and pharmaceutically acceptable derivs. [I; wherein one of Z1, Z2, Z3, Z4, Z5 = N, one is CR2 (wherein R2 = H, OH, (C1-C6)alkoxy, etc.) and the remainder are CH, or one of Z1, Z2, Z3, Z4, Z5 = CR2 and the remainder are CH; R3 = H, carboxy, (C1-C6)alkoxycarbonyl, aminocarbonyl, cyano, tetrazolyl, etc.; R4 = U-V-R5, wherein U-V = (CH2)2, CH2CH(OH), CH2CO, and R5 is a (substituted) bicyclic carbocyclic or heterocyclic ring system] were prepared For example, II was prepared by a multistep synthetic procedure. The prepared compds. are useful in the treatment of bacterial infections in mammals, particularly man. For example, compound II had MIC values ≤4 μg/mL against S. aureus Oxford.

MSTR 1



G1 = F / piperidino
G6 = 42-1 39-3 34-66 35-67



G8 = imidazolyl
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed
Note: also incorporates claim 13
Note: and precursors
Note: or pharmaceutically acceptable derivatives

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:125092 MARPAT
TITLE: Preparation of 4-piperidinylquinolines and nitrogenated analogs as antibacterial agents
INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Miller, William; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 94 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056882	A1	20020725	WO 2002-EP587	20020122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1359908	A1	20031112	EP 2002-702296	20020122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004520360	T2	20040708	JP 2002-557390	20020122
US 2004138219	A1	20040715	US 2004-466394	20040126
PRIORITY APPLN. INFO.:			GB 2001-1577	20010122
			WO 2002-EP587	20020122

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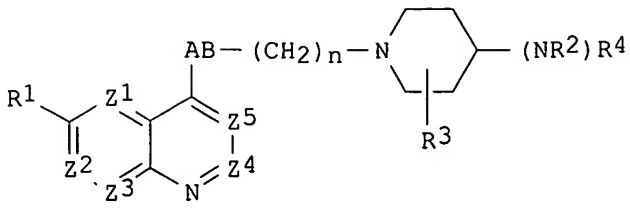

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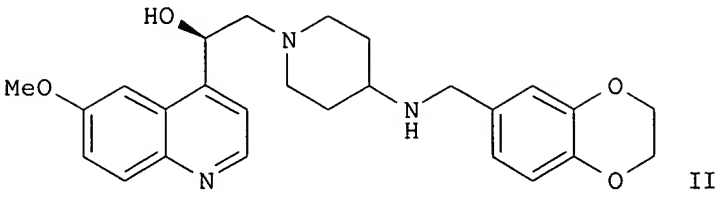
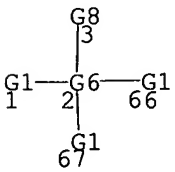


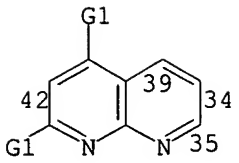
II

AB Title compds. I [wherein one of Z1-Z5 = N, one = CR1a, and the remainder = CH; or one of Z1-Z5 = CR1a and the remainder = CH; R1 and R1a = independently H, OH, or (un)substituted alkoxy; R2 = H or (un)substituted alkyl or alkenyl; R3 = H, carboxy, alkoxycarbonyl, alkenyloxycarbonyl, or (un)substituted aminocarbonyl, alkyl, or ethenyl; R4 = UR5; U = CO, SO2, or CH2; R5 = (un)substituted bicyclic carbocyclic or heterocyclic ring; n = 0 and AB = (un)substituted NHCO, COCH2, CH2CO, NHSO2, CH2SO2, or CH2CH2; or n = 0 and AB = NHCO, COCH2, CH2CO, NHSO2, CONH, CH2CH2, OCH2, or NHCH2; with provisos; and pharmaceutically derivs. thereof] were prepared for the treatment of gram pos. and gram neg. bacterial infections in mammals, particularly in man. For example, quininone was treated with t-BuOK in t-BuOH and H2O to give 6-methoxyquinoline-4-carboxylic acid (46%), which was converted to (R)-2-(6-methoxyquinoline-4-yl)oxirane over several steps. Reaction with LiClO4 in anhydrous DMF, 4-tert-butoxycarbonylaminopiperidine•HCl, and K2CO3 with heating to 90° for 26 h afforded 4-tert-butoxycarbonylamino-1-[2-(R)-hydroxy-2-(6-methoxyquinoline-4-yl)ethyl]piperidine. Deprotection, condensation with 2,3-dihydrobenzo[1,4]dioxine-6-carboxaldehyde, and conversion to the salt gave II•2HO2CCO2H. The latter demonstrated antibacterial activity with MIC ≤ 0.125 μM against one or more of the gram pos. and gram neg. bacteria tested.

MSTR 1



G1 = F / piperidino
G6 = 42-1 39-3 34-66 35-67



G8 = imidazolyl
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed
Note: also incorporates claim 16
Note: and precursors

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 6 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:63259 MARPAT
TITLE: Preparation of piperazines as antibacterials.
INVENTOR(S): Dartois, Catherine Genevieve Yvette; Markwell, Roger Edward; Morvan, Marcel; Nadler, Guy Marguerite Marie Gerard; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050061	A1	20020627	WO 2001-GB5653	20011219
WO 2002050061	C1	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002022287	A5	20020701	AU 2002-22287	20011219
EP 1343780	A1	20030917	EP 2001-271369	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004518661	T2	20040624	JP 2002-551557	20011219
US 2004077655	A1	20040422	US 2003-450884	20031113
PRIORITY APPLN. INFO.:			GB 2000-31088	20001220
			WO 2001-GB5653	20011219

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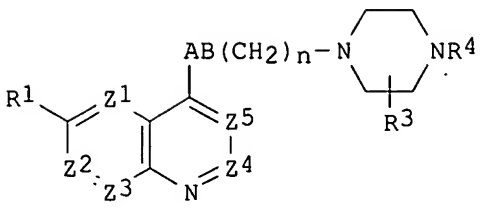
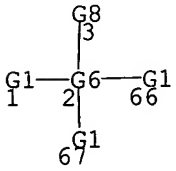


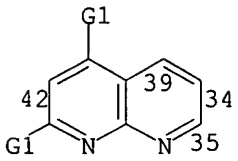
I

AB Title compds. [I; 1 of Z1-Z5 = N, 1 = CR1a, the remainder = CH, 1 of Z1-Z5 = CR1a and the remainder = CH; R1, R1a = H, OH, (substituted) alkoxy, alkoxyalkyl, amino, amidino, etc.; R3 = H, CO2H, alkoxycarbonyl, cyano, tetrazolyl, (substituted) aminocarbonyl, etc.; R4 = UVR5; R5 = (substituted) bicyclic carbocyclyl, heterocyclyl; U = CO, SO2, CH2, and V = CR17R18; or U = CH2 and V = CO, SO2; R17, R18 = H, (substituted) OH, amino; n = 0, 1; AB = NR11CO, COCR8R9, NHR11SO2, etc.; R8, R9 = H, alkoxy, alkylthio, halo, CF3, N3, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, R11 = H, CF3, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, (substituted) aminocarbonyl; with provisos], were prepared Thus, (R)-1-[(6-methoxyquinolin-4-yl)-2-piperazin-1-yl]ethanol (preparation given), K2CO3, and 2-(2-bromoethyl)isoindole-1,3-dione were stirred 3 h in DMF to give 2-[2-[4-[(R)-2-OH-2-(6-methoxyquinolin-4-yl)ethyl]piperazin-1-yl]ethyl]isoindole-1,3-dione. I showed min. inhibitory concns. of ≤0.25 μg/mL against S. aureus Oxford, H. influenzae Q1, E. faecalis 7, etc.

MSTR 1



G1 = F / piperidino
G6 = 42-1 39-3 34-66 35-67



G8 = imidazolyl
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed
Note: also incorporates claim 12
Note: and precursors

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 7 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 137:47229 MARPAT
TITLE: Preparation of piperazinylalkylquinolines as antibacterial agents.
INVENTOR(S): Markwell, Roger Edward; Pearson, Neil David; Smethurst, Christian
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050040	A1	20020627	WO 2001-GB5661	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002016214	A5	20020701	AU 2002-16214	20011219
EP 1343765	A1	20030917	EP 2001-271361	20011219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004518660	T2	20040624	JP 2002-551537	20011219
US 2004077656	A1	20040422	US 2003-450892	20031113
PRIORITY APPLN. INFO.:			GB 2000-31086	20001220
			WO 2001-GB5661	20011219

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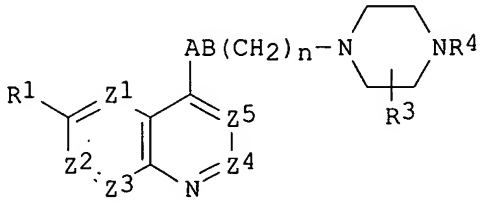

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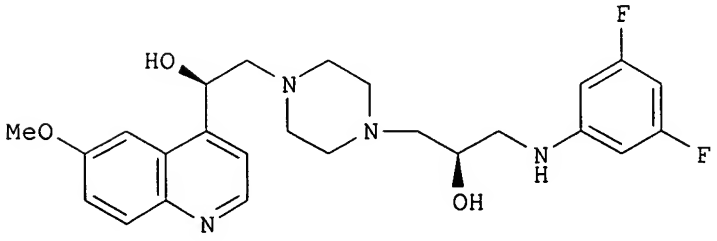
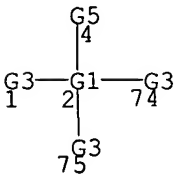


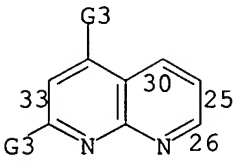
II

AB Title compds. [I; 1 of Z1-Z5 = H, 1 = CR1a, the rest = CH, or 1 of Z1-Z5 = CR1a, the remainder = CH; R1, R1a = H, OH, (substituted) alkoxy, etc.; R3 = H, CO2H, alkoxycarbonyl, aminocarbonyl, etc.; R4 = VX1X2X3X4; V = CH2, CO, SO2; X1 = CR14R15; X2 = NR13, O, SO2, CR14R15; X3 = NR13, O, CR14R15; R14, R15 = H, alkoxy, alkylthio, CF3, cyano, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, etc.; R14R15 = O; R13 = H, CF3, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, aminocarbonyl; X4 = Ph, (substituted) heteroaryl, etc.; n = 0, 1; AB = NR11CO, NR11SO2, COR8R9, etc.; R8, R9 = H, alkoxy, alkylthio, halo, CF3, N3, alkyl, alkenyl, alkoxycarbonyl, etc.; R11 = H, CF3, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, aminocarbonyl, etc.; with provisos], were prepared Thus, (R)-1-(6-methoxyquinolin-4-yl)-2-[4-(S)-1-oxiranylmethylpiperazin-1-yl]ethanol and 3,5-difluoroaniline were refluxed 8 h in EtOH to give 12% title compound (II). Several I had min. inhibitory concns. of <8 μg/mL against S aureus Oxford, pneumoniae 1629, etc.

MSTR 1



G1 = 33-1 30-4 25-74 26-75



G3 = F / piperidino
G5 = imidazolyl
Patent location: claim 1
Note: substitution is restricted
Note: or pharmaceutically acceptable derivatives
Note: additional substitution and ring formation also claimed
Note: also incorporates claim 12
Note: or precursors

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 8 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:279352 MARPAT
TITLE: Preparation and biol. activity of aminopiperidine-containing quinolines as antibacterial agents especially for use in humans
INVENTOR(S): Davies, David Thomas; Markwell, Roger Edward; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024684	A1	20020328	WO 2001-EP10976	20010919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002018192	A5	20020402	AU 2002-18192	20010919
EP 1320529	A1	20030625	EP 2001-985253	20010919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004509885	T2	20040402	JP 2002-529094	20010919
US 2004053928	A1	20040318	US 2003-380915	20030904
PRIORITY APPLN. INFO.:			GB 2000-23211	20000921
			GB 2001-1628	20010122
			WO 2001-EP10976	20010919

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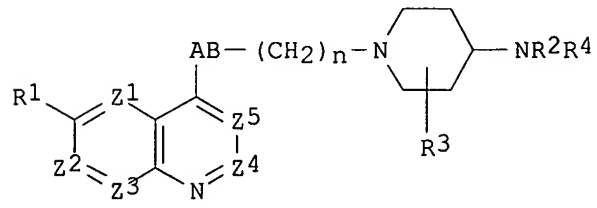

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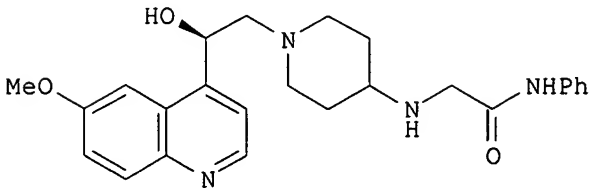
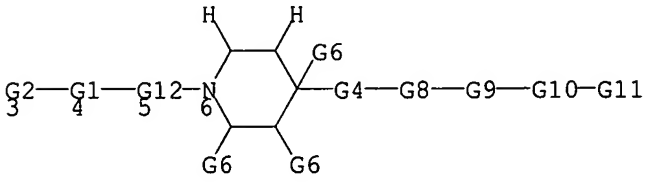


II

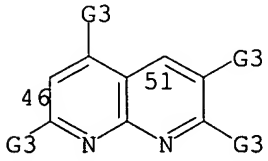
AB Title compds. I [one of Z1-Z5 = N, one = CR1a and the remainder = CH; or one or two of Z1-Z5 = independently CR1a and the remainder = CH; R1a, R1 = H, OH, (substituted) alkoxy, halo, alkylthio, CF3, NO2, N3, acyl, acyloxy, acylthio, etc.; R2 = H, (substituted) alkyl, (substituted) alkenyl; R3 = H, CO2H, alkoxycarbonyl, (substituted) aminocarbonyl, alkyl, ethenyl, etc.; R4 = X1X2X3X4; X1 = CH2, CO, SO2; X2 = CR14R15; X3 = O, S, NR13, CR14R15; R14, R15 = H, halo, alkoxy, alkylthio, CF3, cyano, CO2H, formyl, NO2, amino, OH, alkoxy, aminocarbonyl, alkylsulfonyl, etc.; R14R15 = :O;

R13 = H, CF3, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, aminocarbonyl, etc.; X4 = Ph, halo, CO2H, alkyl, alkoxy, alkenyl, alkoxycarbonyl, formyl, alkylcarbonyl, alkylcarbonyloxy, NO2, cyano, amino, C- or N-linked 5- or 6-membered, substituted heterocycle containing ≤ 4 heteroatoms, etc; n = 0, 1; A = NR11, O, CR6R7; B = NR11, O, SO2, CR8R9; R6-R9 = H, alkoxy, alkylthio, halo, CF3, OH, N3, NH2, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, aminocarbonyl, etc.; R11 = H, CF3, alkyl, alkenyl, alkoxycarbonyl, alkylcarbonyl, (substituted) aminocarbonyl], with provisos, were prepared For example, methoxyquinoline derivative II·dioxalate was prepared in several steps from starting materials of (R)-2-(6-methoxyquinolin-4-yl)oxirane, 1,4-dioxa-8-azaspiro-[4,5]-decane, N-Boc-glycine N-hydroxysuccinimide ester and PhNH2. II·dioxalate showed min. inhibitory concentration (MIC) of ≤ 0.25 μg/mL against various strains of bacteria.

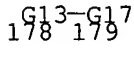
MSTR 1



G1 = 46-3 51-5

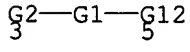


G3 = piperidino / F
G12 = 178-4 179-6



G13 = NH (opt. substd.)
Patent location: claim 1
Note: or pharmaceutically acceptable derivatives
Note: substitution is restricted

MSTR 2



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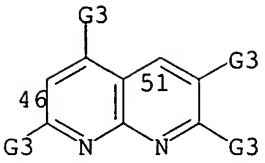
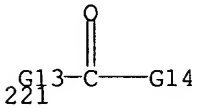
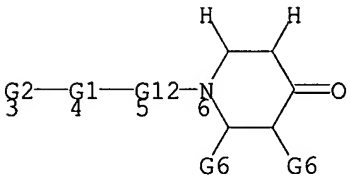


G3 = piperidino / F
G12 = 221

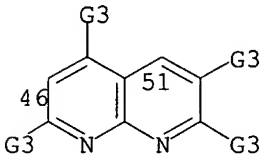


G13 = NH (opt. substd.)
Patent location: claim 13

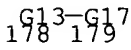
MSTR 4



G1 = 46-3 51-5



G3 = piperidino / F
G12 = 178-4 179-6



G13 = NH (opt. substd.)
Patent location: claim 14

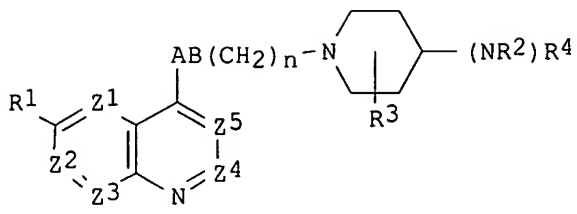
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 9 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 136:151082 MARPAT
TITLE: Preparation of aminopiperidine quinolines and their azaisosteric analogs having antibacterial activity

INVENTOR(S): Davies, David Thomas; Jones, Graham Elgin; Lightfoot, Andrew P.; Markwell, Roger Edward; Pearson, Neil David
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 80 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

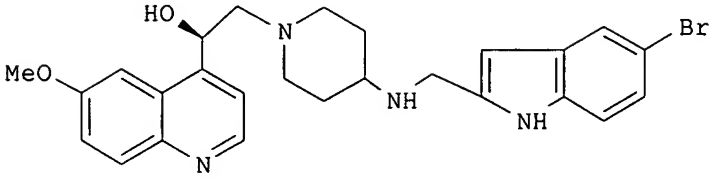
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008224	A1	20020131	WO 2001-EP8604	20010725
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2417192	AA	20020131	CA 2001-2417192	20010725
EP 1305308	A1	20030502	EP 2001-969509	20010725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012750	A	20030909	BR 2001-12750	20010725
JP 2004504397	T2	20040212	JP 2002-514130	20010725
NZ 523749	A	20050324	NZ 2001-523749	20010725
ZA 2003000589	A	20040422	ZA 2003-589	20030122
NO 2003000345	A	20030310	NO 2003-345	20030123
US 2004038998	A1	20040226	US 2003-333829	20030828
US 6962917	B2	20051108		
US 2006014749	A1	20060119	US 2005-219148	20050902
PRIORITY APPLN. INFO.:			GB 2000-18351	20000726
			GB 2001-1629	20010122
			WO 2001-EP8604	20010725
			US 2003-333829	20030828

GI



I

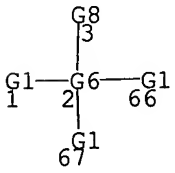
dioxalate



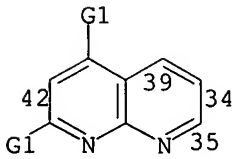
II

AB Aminopiperidine quinoline compds. I (Z1-Z5 = one is N, one (or two independently are) CR1a and the remainder are CH; R1 and R1a = independently are H, OH, NH2, CONH2, halogen, (un)substituted S and SO2, (un)substituted alkyl and alkoxy, etc.; R2 = H, (un)substituted alkyl or alkenyl; R3 = H, CO2H, (un)substituted amino, etc.; R4 = CO, SO2, CH2 attached to an optionally substituted bicyclic, carbocyclic or heterocyclic ring system; n = 0-1; AB = substituted N or C), their salts and pharmaceutically acceptable derivs. were prepared and found to be useful in treating bacterial infections in mammals, especially humans. Thus II was prepared from 4-amino-1-[2-(R)-hydroxy-2-(6-methoxyquinolin-4-yl)]ethylpiperidine and 5-bromo-1H-indole-2-carboxaldehyde and was determined to have an MIC less than or equal to 32μg/mL against one or more of gram pos. and neg. bacteria such as S. aureus Oxford and WCUH29 and S. pneumoniae 1629, N1387 and ERY 2.

MSTR 1



G1 = F / piperidino
G6 = 42-1 39-3 34-66 35-67



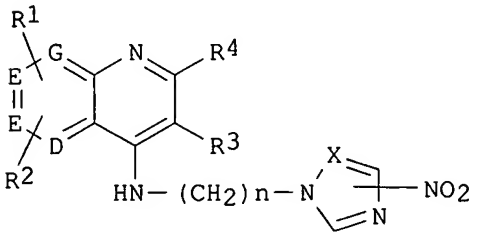
G8 = imidazolyl
Patent location: claim 1
Note: substitution is restricted
Note: additional ring formation also claimed
Note: also incorporates claim 13
Note: and precursors

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 10 OF 10 MARPAT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 126:207509 MARPAT
TITLE: Heterocyclic hypoxia-selective cytotoxins with affinity for DNA, compound preparation, and usefulness as chemosensitizers and radiosensitizers
INVENTOR(S): Papadopoulou-Rosenzweig, Maria V.; Bloomer, William D.
PATENT ASSIGNEE(S): Evanston Hospital Corporation, USA
SOURCE: U.S., 39 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5602142	A	19970211	US 1994-361220	19941221
US 5958947	A	19990928	US 1996-741328	19961028
PRIORITY APPLN. INFO.:			US 1994-361220	19941221

GI

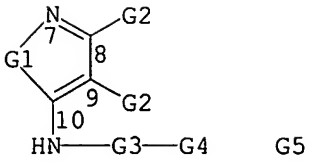


HZ

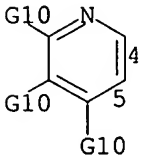
I

AB Hypoxia-selective cytotoxins I [D, E, F, G = C, N, provided that ≥3 of D, E, F and G are C; R1, R2 = Me, halo, H, CF3, MeO, CN, methylsulfo; R3, R4 = Me, Et, Ph, naphthyl, tert-Bu, halo, halomethylene, H, CF3, CN, methylsulfo, or R3 and R4 taken together are (un)substituted 5- or 6-membered nonarom. ring system; n = 1-5; X = C, N; Z = physiol. acceptable anion], are disclosed. The compds. are useful as radiosensitizers or chemosensitizers, especially in the treatment of cancer patients.

MSTR 2B



G1 = 4-7 5-10



G2 = Ph / Cl
Patent location: disclosure